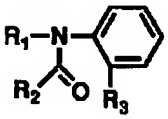
FULL CONTENTS

[Claim(s)]

[Claim 1] general formula (1) (** 1)

[Formula 1]

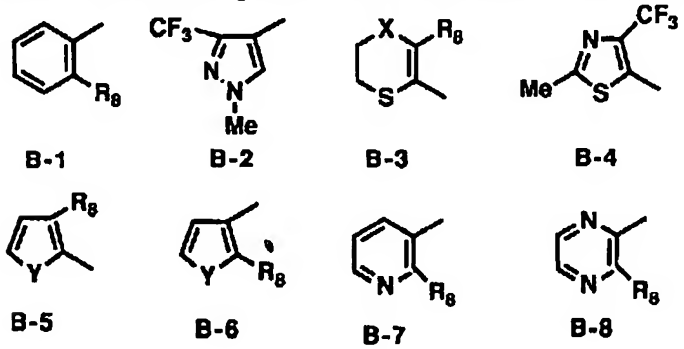


(1)

R1 means among [type the group of either A-1 expressed with the following chemical formulas (** 2) to A-4, [Formula 2]

A-1 A-2 A-3 A-4

the inside of a formula, and R4 -- the alkyl group of 1-8 carbon numbers, and the HAROARUKIRU machine of 1-8 carbon numbers -- It is a phenoxymethyl machine R5 The alkyl group of 1-8 carbon numbers or a phenyl group is expressed. R6 The alkyl group of 1-8 carbon numbers and the alkoxyalkyl group of 1-8 carbon numbers are expressed, and it is R7. The alkyl group of 1-8 carbon numbers is expressed. R2 The group of either B-1 expressed with the following chemical formulas (** 3) to B-8 is meant, [Formula 3]

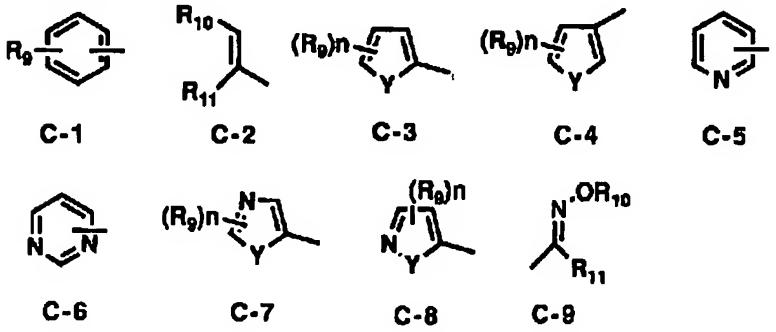


B-1 B-2 B-3 B-4

B-5 B-6 B-7 B-8

([R8 / a halogen atom, the alkyl group of 1-8 carbon numbers, or the HAROARUKIRU machine of 1-8 carbon numbers] among a formula) It is R3 to which X expresses a methylene group or an oxygen atom, and Y expresses an oxygen atom or a sulfur atom. The group of either C-1 expressed with the following chemical formulas (** 4) to C-9 is meant.

[Formula 4]



(R9 expresses a hydrogen atom, the alkyl group of 1-8 carbon numbers, and the HAROARUKIRU machine of 1-8 carbon numbers among a formula, R10 and R11 express a hydrogen atom or the alkyl group of 1-8 carbon numbers, and Y expresses an oxygen atom or a sulfur atom.) Moreover, n is R9 when n is two or more for the integer of 1 to 3. Even if the same, you may differ. N expressed with], N-JI displacement aniline derivative.

[Claim 2] The germicide for plantation arts which contains N according to claim 1 and N-JI displacement aniline derivative as an active principle.

[Detailed Description of the Invention]

[0001]

[Industrial Application] This invention relates to the germicide for plantation arts which contains the carboxylic amide derivative which introduced the acyl group, the alkoxyalkyl group, or the carbamoyl group into the nitrogen atom of the amide group, and this derivative as an active principle.

[0002]

[Description of the Prior Art] It is widely known from the former that extremely various carboxylic amide shows activity as a herbicide and a germicide, and there are also many drugs especially marketed as a germicide about benzoic acid amide or heterocycle carboxylic amide. For example, 3 '- isopropyloxy 2-methyl benzanilide or alpha, alpha, and alpha-trifluoro 3'- isopropyloxy 2-TORUANIRIDO is marketed as a germicide to rice sheath blight disease, the rust disease of wheat, etc. as benzoic acid amide. Moreover, it is heterocycle carboxylic amide. As for ** 5, the 6-dihydro2-methyl 1, 4-**********- 3-carboxy anilide 4, and 4-dioxide,

chrysanthemum white rust disease, 3, and 4-dihydro6-methyl 2H-Piran 5-carboxy anilide is marketed as a germicide to the rust disease of wheat.

[0003] Furthermore, it is in Pestic.Sci., and 38, 1-7 (1993), When thiazole carboxylic amide checks work of succinic dehydrogenase To having activity to a Rhizoctonia bacillus, Aust.J.Chem., and 36,135-147 (1983), it is indicated that pyrazole carboxylic amide has activity to a Rhizoctonia bacillus similarly.

[0004] On the other hand in JP,H5-221,994,A and JP,H6-199,803,A, it is, At least to o- of an amino group, an alkyl group, an alkoxy group, an alkenyl group, an alkenyloxy machine, It is indicated that the various aromatic-carboxylic-acid anilide which the alkynyl group, the alkynyloxy machine, the cyclo alkenyloxy machine, or the phenyl group replaced has an effect in a gray mold (Botorytis bacillus). However, although each compound indicated there examined the sterilization activity over a gray mold about the compound which has a hydrogen atom on the nitrogen atom of amide, and was indicated concretely there, its extermination effect was low and was not practical.

[0005]

[Problem(s) to be Solved by the Invention] Therefore, the technical problem of this invention is safe also to crops while showing the outstanding disease extermination effect, and it is in offering the germicide for plantation arts which has a mechanism new moreover.

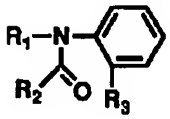
[0006]

[The means and operation for solving invention] In order to solve said technical problem, as a result of inquiring wholeheartedly, this invention person etc. found out that N and N-JI displacement aniline derivative showed a powerful extermination effect to a gray mold, and completed this invention.

[0007] That is, this invention is a general formula (1) (** 5).

[0008]

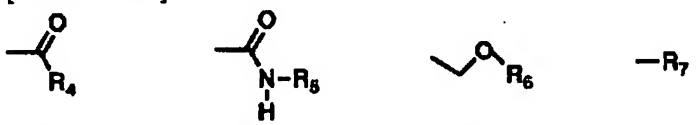
[Formula 5]



(1)

R1 means among [type the group of either A-1 expressed with the following chemical formulas (** 6) to A-4, [0009]

[Formula 6]

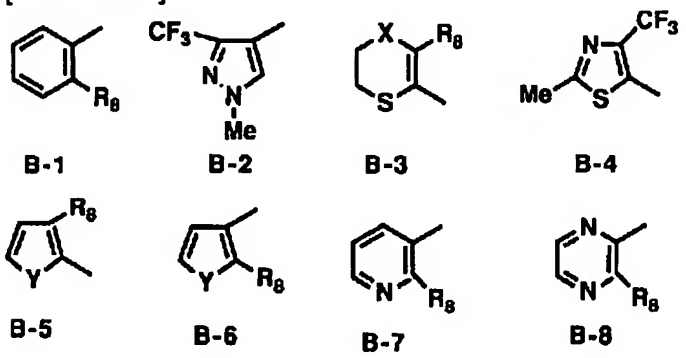


A-1 A-2 A-3 A-4

[0010] the inside of a formula, and R4 -- the alkyl group of 1-8 carbon numbers, and the

HAROARUKIRU machine of 1-8 carbon numbers -- It is a phenoxymethyl machine R5 The alkyl group of 1-8 carbon numbers or a phenyl group is expressed. R6 The alkyl group of 1-8 carbon numbers and the alkoxyalkyl group of 1-8 carbon numbers are expressed, and it is R7. The alkyl group of 1-8 carbon numbers is expressed. R2 The group of either B-1 expressed with the following chemical formulas (** 7) to B-8 is meant, [0011]

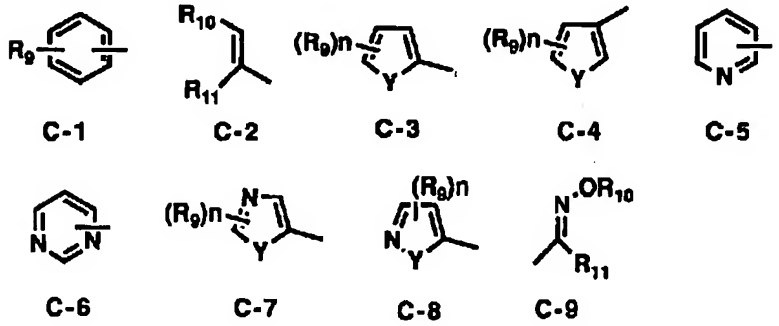
[Formula 7]



[0012] ([R8 / a halogen atom, the alkyl group of 1-8 carbon numbers, or the HAROARUKIRU machine of 1-8 carbon numbers] among a formula) It is R3 to which X expresses a methylene group or an oxygen atom, and Y expresses an oxygen atom or a sulfur atom. The group of either C-1 expressed with the following chemical formulas (** 8) to C-9 is meant.

[0013]

[Formula 8]



[0014] (R9 expresses a hydrogen atom, the alkyl group of 1-8 carbon numbers, and the HAROARUKIRU machine of 1-8 carbon numbers among a formula, R10 and R11 express a hydrogen atom or the alkyl group of 1-8 carbon numbers, and Y expresses an oxygen atom or a sulfur atom.) Moreover, n is R9 when n is two or more for the integer of 1 to 3. Even if the same, you may differ. It is the germicide for plantation arts which contains N expressed with], N-JI displacement aniline derivative, and this derivative as an active principle.

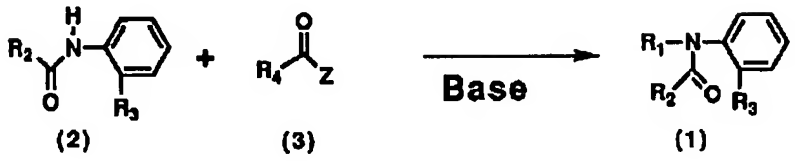
[0015] [with the method which the amide derivative expressed with the general formula (1) of this invention is a new compound, and is expressed with the following reaction formulae 1 to 4 (** 9)] It can manufacture by making the compound expressed with the carboxylic amide and

the general formula (3) which are expressed with a general formula (2), (4), (5), or (6) react under base existence.

[0016]

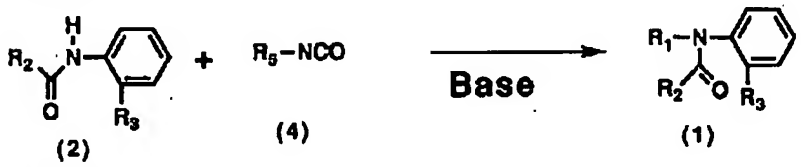
[Formula 9]

反応式1



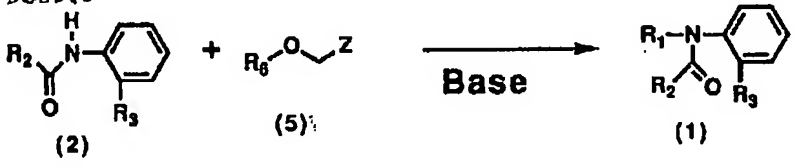
(2) (3) (1)

反応式2



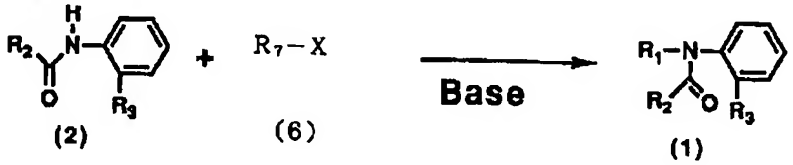
(2) (4) (1)

反応式3



(2) (5) (1)

反応式4



(2) (6) (1)

[0017] (R1 expresses the aforementioned group A-2 with a reaction formula 1 for the aforementioned group A-1 by a reaction formula 2 among a formula, a reaction formula 4 expresses the aforementioned group A-4 for the aforementioned group A-3 by a reaction formula 3, R4, R5, R6, and R7 express the same meaning as the above, and Z expresses chlorine or bromine.)

[0018] For a reaction, that what is necessary is just inertness as a solvent which can be used for this invention For example, ether, Ether, such as tetrahydrofuran, dimethylformamide, dimethyl sulfoxide, Aromatic hydrocarbon, such as aliphatic hydrocarbon, such as aprotic polar solvents, such as dimethyl imidazolinone, hexane, and light petroleum, benzene, and toluene, is mentioned, and these mixed solvents can also be used.

[0019] As a base used for this invention reaction, the hydride of an alkali metal and an alkaline earth metal, For example, amide of alkali metals, such as sodium hydride and potassium hydride, For example, lithium amide, sodium amide, etc.; The hydroxide of an alkali metal and an alkaline earth metal, For example, amide of alkali metals, such as sodium hydroxide, potassium hydroxide, and a calcium hydroxide, For example, carbonate of an alkali metal and

an alkaline earth metal, such as lithium amide and sodium amide, For example, sodium carbonate, potassium carbonate, calcium carbonate, magnesium carbonate, etc., Hydrogencarbonate of an alkali metal and an alkaline earth metal, for example, sodium hydrogencarbonate, Potassium hydrogencarbonate and alkali metal alkyl, for example, methyl lithium, Butyl lithium, phenyl lithium, methyl magnesium chloride, Alkoxide of an alkali metal and an alkaline earth metal, for example, sodium methoxide, Various organic base [, such as sodium ethoxide potassium t-butoxide and dimethoxy magnesium,], for example, triethylamine, pyridine, N, and N-dimethylaniline, N-methyl piperidine, lutidine, 4-dimethylaminopyridine, etc. are mentioned, They are sodium hydride and sodium amide especially preferably. Although the amount in particular of these bases used is not restricted, it is used from 5mol % for 20 mol over% to the carboxylic amide preferably expressed with a general formula (2).

[0020] The compound preferably used as a germicide for plantation arts with N of the general formula (1) concerning this invention which can be manufactured by the above manufacture method, and N-JI displacement aniline derivative The following table [1st] (Tables 1 and 2), It enumerates to the 2nd table (Tables 3-8), the 3rd table (Tables 9 and 10), the 4th table (Tables 11-16), the 5th table (Tables 17 and 18), the 6th table (Tables 19 and 20), the 7th table (Tables 21 and 22), and the 8th table (Tables 23 and 24).

[0021]

[Table 1]

The 1st table (in the case of R2=2-chlorophenyl)

---------- R1R3 ---------- The acetyl phenylacetyl isopropenyl ETANOIRUFENIRUETANOIRUISOPUROPE NIRUPUROPANOIRUFENIRU propanoyl isopropenyl butanoyl Phenyl Butanoyl Isopropenyl PENTA noil Phenyl A PENTANOIRU isopropenyl hexano IRUFENIRUHEKISANOIRU isopropenyl N-ethyl carbamoyl phenyl N-ethyl carbamoyl isopropenyl N-methylcarbamoyl phenyl N-methylcarbamoyl isopropenyl N-phenylcarbamoyl phenyl N-phenyl Carbamoyl isopropenyl phenoxy methylphenyl Phenoxymethyl Isopropenyl Methoxymethyl Phenyl ---------- [0022]

[Table 2]

The 1st table (in the case of R2=2-chlorophenyl)

---------- R1R3 ---------- Methoxymethyl isopropenyl Methoxyethoxymethyl Phenyl Methoxyethoxymethyl Isopropenyl ---------- [0023]

[Table 3]

The 2nd table (in the case of R2=3-trifluoromethyl 1-methyl 4-pyrazolyl)

---------- R1R3 ---------- An acetyl phenylacetyl isopropenyl acetyl 2-thienyl acetyl 5-methyl 2-thienyl acetyl 3-thienyl acetyl 2-furil Acetyl 2-pyridyl Acetyl 2-pyrimidyl Acetyl 5-thiazolyl acetyl 5- iso -- oxazolyl acetyl 1-(methoxy imino)

ECHIRUETANOIRUFENIRUETA noil isopropenyl ETANOIRU 2-thienyl ETANOIRU 5-methyl -- [2-thienyl ETANOIRU 3-thienyl ETANOIRU 2-FURIRUETA noil 2-pyridyl] ETANOIRU 2-pyrimidyl ETANOIRU 5-thiazolyl ---------- ---------- [0024]

[Table 4]

The 2nd table (continuation)

---------- R1R3 ---------- ETANOIRU 5-iso oxazolyl ETANOIRU 1 - (methoxy imino) ECHIRUPUROPANOIRU phenyl propanoyl isopropenyl propanoyl 2-thienyl propanoyl 5-methyl 2-thienyl Propanoyl 3-thienyl Propanoyl 2-furil Propanoyl isopropenyl butanoyl 2-thienyl butanoyl 5-methyl 2-thienyl butanoyl 3- 2-pyridyl propanoyl 2 - the pyrimidyl propanoyl 5-thiazolyl propanoyl 5-iso oxazolyl propanoyl 1-(methoxy imino) ECHIRUBUTANOIRUFENIRU butanoyl -- Thienyl Butanoyl 2-furil Butanoyl 2-pyridyl Butanoyl 2-pyrimidyl ---------- [0025]

[Table 5]

The 2nd table (continuation)

---------- R1R3 ---------- butanoyl 5-thiazolyl -- [butanoyl 5-iso oxazolyl butanoyl 1-(methoxy imino) ECHIRUPENTANOIRUFENIRUPENTA noil isopropenyl PENTANOIRU 2-thienyl] PENTA noil 5-methyl 2-thienyl PENTA noil 3-thienyl PENTANO noil 1-(methoxy imino) ethylhexanoyl FENIRUHEKISANOIRU isopropenyl hexa noil 2-thienyl hexa noil 5- IRU 2-furil PENTA noil 2-pyridyl PENTA noil -- 2-pyrimidyl PENTA noil 5-thiazolyl PENTA noil 5-iso oxazolyl PENTA -- Methyl 2-thienyl Hexa noil 3-thienyl Hexa noil 2-furil ---------- ---------- [0026]

[Table 6]

The 2nd table (continuation)

---------- R1R3 ---------- hexa noil 2-pyridyl hexa noil 2-pyrimidyl hexa noil 5-thiazolyl hexa -- [noil 5-iso oxazolyl hexa noil 1-(methoxy imino) ethyl N-ethyl carbamoyl phenyl] N-ethyl carbamoyl Isopropenyl N-ethyl carbamoyl 2-thienyl N-ethyl carbamoyl 5-methyl 2-CHIE Nil N-ethyl carbamoyl 3-thienyl N-ethyl carbamoyl 2-furil N-ethyl carbamoyl 2-pyridyl N-ethyl carbamoyl 2-pyrimidyl N-ethyl carbamoyl 5 - Thiazolyl N-ethyl carbamoyl 5-iso oxazolyl N-ethyl carbamoyl 1- (ME) TOKISHI imino ethyl N-phenylcarbamoyl phenyl N-phenylcarbamoyl isopropenyl N-phenylcarbamoyl 2-thienyl N-phenylcarbamoyl 5-methyl 2-thienyl ---------- [0027]

[Table 7]

The 2nd table (continuation)

---------- R1R3 ---------- N-phenylcarbamoyl 3-thienyl N-phenylcarbamoyl 2-furil N-phenylcarbamoyl 2-pyridyl N-phenylcarbamoyl 2-pyrimidyl N-phenylcarbamoyl -- [5-thiazolyl N-phenylcarbamoyl 5-iso oxazolyl N-phenyl cull BAMOI] RU 1-(methoxy imino) ethyl Phenoxymethyl Phenyl Phenoxymethyl Isopropenyl Phenoxymethyl 2

2-pyrimidyl phenoxymethyl 5-thiazolyl phenoxymethyl 5-iso oxazolyl phenoxymethyl 1- thienyl phenoxymethyl 5-methyl 2-thienyl phenoxymethyl 3-thienyl phenoxymethyl 2-furil phenoxymethyl 2-pyridyl phenoxymethyl - (Methoxy imino) Ethyl methoxymethyl Phenyl Methoxymethyl Isopropenyl ---------- [0028]

[Table 8]

The 2nd table (continuation)

---------- R1R3 ---------- Methoxymethyl 2-thienyl methoxymethyl 5-methyl 2-thienyl methoxymethyl 3-thienyl methoxymethyl 2-furil methoxymethyl 2-pyridyl methoxymethyl 2-pyrimidyl methoxymethyl 5-thiazolyl Methoxymethyl 5-iso oxazolyl Methoxymethyl 1 - Ethyl methoxyethoxymethyl phenyl methoxyethoxymethyl isopropenyl methoxyethoxymethyl 2-thienyl methoxyethoxymethyl 5-methyl 2-thienyl methoxyethoxymethyl 3-thienyl methoxyethoxymethyl 2-furil METOKISHIETO (Methoxy imino) KISHIMECHIRU 2-pyridyl methoxyethoxymethyl 2-pyrimidyl methoxyethoxymethyl 5-thiazolyl methoxyethoxymethyl 5-iso oxazolyl Methoxyethoxymethyl 1-(methoxy imino) ethyl ---------- [0029]

[Table 9]

The 3rd table (in the case of R2=3-methyl **********- 2-IRU)

---------- R1R3 ---------- An acetyl phenylacetyl isopropenyl ETANOIRUFENIRUETANOIRUISOPUROPE NIRUPUROPANOIRUFENIRUPUROPANOIRU isopropenyl butanoyl phenyl Butanoyl Isopropenyl PENTA noil Phenyl PENTA noil Isopropenyl hexano IRUFENIRUHEKISANOIRU isopropenyl N-ethyl carbamoyl phenyl N-ethyl carbamoyl isopropenyl N-methylcarbamoyl phenyl N-methylcarbamoyl isopropenyl N-phenylcarbamoyl phenyl N-phenylcarbamoyl Isopropenyl Phenoxymethyl Phenyl Phenoxymethyl Isopropenyl ---------- [0030]

[Table 10]

The 3rd table (continuation)

---------- R1R3 ---------- Methoxymethyl phenyl methoxymethyl isopropenyl Methoxyethoxymethyl Phenyl Methoxyethoxymethyl Isopropenyl ---------- [0031]

[Table 11]

The 4th table (in the case of R2=4-trifluoromethyl 2-methyl 5-thiazolyl)

---------- R1R3 ---------- Acetyl phenylacetyl isopropenyl acetyl 2-thienyl acetyl 5-methyl 2-thienyl acetyl 3-thienyl acetyl 2-furil Acetyl 2-pyridyl Acetyl 2-pyrimidyl -- acetyl 5-thiazolyl acetyl 5-iso oxazolyl acetyl 1-(methoxy imino) ECHIRUETANOIRUFENIRUETA noil isopropenyl -- [ETANOIRU 2-thienyl ETANOIRU 5-methyl 2-thienyl ETANOIRU 3-thienyl] ETANOIRU 2-furil ETANOIRU 2-pyridyl ETANOIRU 2-

pyrimidyl ETANOIRU 5-thiazolyl ---------- [0032]
[Table 12]
The 4th table (continuation)
---------- R1R3 ---------- ETANOIRU 5 - iso oxazolyl ETANOIRU 1-(methoxy imino) ECHIRUPUROPANOIRU phenyl propanoyl isopropenyl propanoyl 2-thienyl propanoyl 5-methyl 2-thienyl Propanoyl 3-thienyl Propanoyl 2-furil propanoyl 2-pyridyl propanoyl 2-pyrimidyl propanoyl 5-thiazolyl propanoyl -- [5-iso oxazolyl propanoyl 1-(methoxy imino) ECHIRUBUTANOIRUFENIRU butanoyl isopropenyl butanoyl 2-thienyl butanoyl] 5-methyl 2-thienyl Butanoyl 3-thienyl Butanoyl 2-furil Butanoyl 2-pyridyl ---------- ---------- [0033]
[Table 13]
The 4th table (continuation)
---------- R1R3 ---------- the butanoyl 2-pyrimidyl butanoyl -- [5-thiazolyl butanoyl 5-iso oxazolyl butanoyl 1-(methoxy imino) ethyl pen TANOIRUFENIRUPENTA noil] Isopropenyl PENTA noil 2-thienyl PENTA noil 5-methyl 2-thienyl PENTA noil 3-thienyl PENTA noil 2-furil PENTA noil 2-pyridyl PENTA noil 2-pyrimidyl PENTA -- [noil 5-thiazolyl PENTA noil 5-iso oxazolyl PENTA noil 1-(methoxy imino) ECHIRUHEKISANOIRUFENIRUHEKISANOI] ** isopropenyl Hexa noil 2-thienyl Hexa noil 5-methyl 2-thienyl Hexa noil 3-thienyl ---------- [0034]
[Table 14]
The 4th table (continuation)
---------- R1R3 ---------- hexa noil 2-furil hexa noil 2-pyridyl hexa noil 2-pyrimidyl hexa -- [noil 5-thiazolyl hexa noil 5-iso oxazolyl hexa noil 1-(methoxy imino) ethyl] N-ethyl carbamoyl Phenyl N-ethyl carbamoyl Isopropenyl N-ethyl carbamoyl 2-thienyl N-ethyl carbamoyl 5-methyl 2-thienyl N-ethyl carbamoyl 3-thienyl N-ethyl carbamoyl 2-furil N-ethyl carbamoyl 2 - Pyridyl N-ethyl carbamoyl 2-pyrimidyl N-ethyl carbamoyl 5-CHIAZO Lil N-ethyl carbamoyl -- [5-iso oxazolyl N-ethyl carbamoyl 1-(methoxy imino) ethyl N-phenylcarbamoyl phenyl] N-phenylcarbamoyl Isopropenyl N-phenylcarbamoyl 2-thienyl ---------- ---------- [0035]
[Table 15]
The 4th table (continuation)
---------- R1R3 ---------- N-phenylcarbamoyl 5-methyl 2-thienyl N-phenylcarbamoyl 3-thienyl N-phenylcarbamoyl 2-furil N-phenylcarbamoyl 2-pyridyl N-phenylcarbamoyl 2-pyrimidyl N-phenylcarbamoyl 5-thiazolyl N-phenyl KARUBA Moil 5-iso oxazolyl N-phenylcarbamoyl 1-(methoxy imino) ethyl Phenoxymethyl Phenyl FENOKISHIME Chill isopropenyl phenoxymethyl 2-thienyl phenoxymethyl 5-methyl 2-thienyl phenoxymethyl 3-thienyl phenoxymethyl 2-furil phenoxymethyl 2-pyridyl phenoxymethyl 2-pyrimidyl

phenoxymethyl 5-thiazolyl phenoxymethyl 5- Iso oxazolyl phenoxymethyl 1-(methoxy imino) ethyl Methoxymethyl Phenyl ---------- ---- [0036]

[Table 16]

The 4th table (continuation)

---------- R1R3 ---------- Methoxymethyl isopropenyl methoxymethyl 2-thienyl methoxymethyl 5-methyl 2-thienyl methoxymethyl 3-thienyl methoxymethyl 2-furil methoxymethyl 2-pyridyl Methoxymethyl 2-pyrimidyl Methoxymethyl 5-thiazolyl Methoxymethyl 5-iso oxazolyl methoxymethyl 1- Ethyl methoxyethoxymethyl phenyl methoxyethoxymethyl isopropenyl methoxyethoxymethyl 2-thienyl methoxyethoxymethyl 5-methyl 2-thienyl methoxyethoxymethyl 3-thienyl methoxyethoxymethyl 2-furil METOKISHIETO (Methoxy imino) KISHIMECHIRU 2-pyridyl methoxyethoxymethyl 2-pyrimidyl Methoxyethoxymethyl 5-thiazolyl Methoxyethoxymethyl 5-iso oxazolyl Methoxy ETOKISHIMECHI ** 1-(methoxy imino) ethyl ---------- [0037]

[Table 17]

The 5th table (in the case of R2=3-methyl 2-thienyl)

---------- R1R3 ---------- Acetyl phenylacetyl isopropenyl ETANOIRUFENIRUETANOIRUISOPUROPE NIRUPUROPANOIRU phenyl propanoyl isopropenyl Butanoyl Phenyl Butanoyl Isopropenyl PENTANOIRUFENIRUPENTANOIRU isopropenyl hexano IRUFENIRUHEKISANOIRU isopropenyl N-ethyl carbamoyl phenyl N-ethyl carbamoyl isopropenyl N-methylcarbamoyl phenyl N-methylcarbamoyl isopropenyl N-phenylcarbamoyl Phenyl N-phenylcarbamoyl Isopropenyl Phenoxymethyl Phenyl Phenoxymethyl Isopropenyl ---------- [0038]

[Table 18]

The 5th table (continuation)

---------- R1R3 ---------- Methoxymethyl phenyl methoxymethyl isopropenyl Methoxyethoxymethyl Phenyl Methoxyethoxymethyl Isopropenyl ---------- [0039]

[Table 19]

The 6th table (in the case of an R2=2-methyl 3-furil)

---------- R1R3 ---------- Acetyl phenylacetyl isopropenyl ETANOIRUFENIRUETANOIRUISOPUROPE NIRUPUROPANOIRU phenyl propanoyl isopropenyl Butanoyl Phenyl Butanoyl Isopropenyl PENTANOIRUFENIRUPENTANOIRU isopropenyl hexano IRUFENIRUHEKISANOIRU isopropenyl N-ethyl carbamoyl phenyl N-ethyl carbamoyl isopropenyl N-methylcarbamoyl phenyl N-methylcarbamoyl isopropenyl N-phenylcarbamoyl Phenyl N-phenylcarbamoyl isopropenyl Phenoxymethyl Phenyl Phenoxymethyl Isopropenyl ---------- ---------- [0040]

[Table 20]

The 6th table (continuation)
---------- R1R3 ---------- Methoxymethyl phenyl methoxymethyl isopropenyl Methoxyethoxymethyl Phenyl Methoxyethoxymethyl Isopropenyl ---------- [0041]
[Table 21]
The 7th table (in the case of R2=2-chloro 3-pyridyl)
---------- R1R3 ---------- Acetyl phenylacetyl isopropenyl ETANOIRUFENIRUETANOIRUISOPUROPE NIRUPUROPANOIRU phenyl propanoyl isopropenyl Butanoyl Phenyl Butanoyl Isopropenyl PENTANOIRUFENIRUPENTANOIRU isopropenyl hexano IRUFENIRUHEKISANOIRU isopropenyl N-ethyl carbamoyl phenyl N-ethyl carbamoyl isopropenyl N-methylcarbamoyl phenyl N-methylcarbamoyl isopropenyl N-phenylcarbamoyl Phenyl N-phenylcarbamoyl isopropenyl Phenoxymethyl Phenyl Phenoxymethyl Isopropenyl ---------- ---------- [0042]
[Table 22]
The 7th table (continuation)
---------- R1R3 ---------- Methoxymethyl phenyl methoxymethyl isopropenyl Methoxyethoxymethyl Phenyl Methoxyethoxymethyl Isopropenyl ---------- [0043]
[Table 23]
The 8th table (R2=2-chloro 3 - in the case of pyrazinyl one)
---------- R1R3 ---------- Acetyl phenylacetyl isopropenyl ETANOIRUFENIRUETANOIRUISOPUROPE NIRUPUROPANOIRU phenyl propanoyl isopropenyl Butanoyl Phenyl Butanoyl Isopropenyl PENTANOIRUFENIRUPENTANOIRU isopropenyl hexano IRUFENIRUHEKISANOIRU isopropenyl N-ethyl carbamoyl phenyl N-ethyl carbamoyl isopropenyl N-methylcarbamoyl phenyl N-methylcarbamoyl isopropenyl N-phenylcarbamoyl Phenyl N-phenylcarbamoyl isopropenyl Phenoxymethyl Phenyl Phenoxymethyl Isopropenyl ---------- ---------- [0044]
[Table 24]
The 8th table (continuation)
---------- R1R3 ---------- Methoxymethyl phenyl methoxymethyl isopropenyl Methoxyethoxymethyl Phenyl Methoxyethoxymethyl Isopropenyl ---------- [0045] [the compound expressed with the general formula (1) of this invention] Others [diseases / (Botrytis cinerea) /, such as a cucumber, a tomato, a strawberry, and a grape, / gray mold], Japanese noodles **** of melons (Sphaerotheca fuliginea), Japanese noodles **** of wheat (Erysiphe graminis f.sp.hordei, f.sp.tritici), Strawberry Japanese noodles **** (Sphaerotheca humuli), grape Japanese noodles **** (Uncinula necator), Apple Japanese noodles **** (Podosphaera leucotricha), An apple black spot

(Venturia inaequalis), a pear black spot (Venturia nashicola), Apple Gymnosporangium japonicum (Gymnosporangium yamadae), Pear purple blotch (Alternaria kikuchiana), an apple spot brown stem rot (Alternaria mali), The extermination effect which was excellent to the rust disease (Puccinia striiformis, P.graminis, P.recondita, P.hordei) of wheat etc. is shown.

[0046] When using the compound expressed with the general formula (1) concerning this invention as a germicide for plantation arts Although an original object may be used as it is to the vegetation to process, generally it mixes with an inertness liquid carrier or a solid carrier, and is used as pharmaceutical preparation of the form of dust which is the pharmaceutical preparation form usually used, a water-dispersible powder, a flowable agent, an emulsion, a granule, and others generally used commonly. Furthermore, an adjuvant can also be added if it is pharmaceutical preparation top necessity.

[0047] A carrier here means the synthesis, the natural inorganic matter, or the organic substance blended in order to help attainment of the active principle to the part which should be processed and to make easy storage of an active principle compound, transportation, and handling. As a carrier, if usually used for the drugs for plantation arts, either a solid or a liquid can be used, and it is not limited to a specific thing.

[0048] For example, as a solid carrier, a vegetable organic substance, urea, etc., such as mineral matter, such as clay, such as montmorillonite and kaolinite, diatomaceous earth, clay, a talc, burr MYUKYU Rheydt, gypsum fibrosum, calcium carbonate, a silica gel, and ammonium sulfate, soybean meal, saw dust, and flour, are raised.

[0049] As a liquid carrier, aromatic hydrocarbon, such as toluene, xylene, and cumene, Ketone, such as paraffin hydrocarbon, such as kerosene and a mineral oil, acetone, and methyl ethyl ketone, Alcohols, such as ether, such as dioxane and diethylene glycol wood ether, methanol, ethanol, propanol, and ethylene glycol, dimethylformamide, dimethyl sulfoxide, water, etc. are raised.

[0050] Furthermore, in order to reinforce the effect of this invention compound, in consideration of the pharmaceutical form of pharmaceutical preparation, an application scene, etc., it can combine independently according to the purpose, respectively, and the following adjuvants can also be used. The surface active agent, binder which are usually used for the drugs for plantation arts as an adjuvant for example, ligninsulfonic acid, alginic acid, and polyvinyl alcohol -- gum arabic, CMC sodium, etc. are independent if needed in a stabilizer (for example, use a phenol system compound, a thiol system compound, or higher fatty acid ester for antioxidizing, phosphate is used as a pH adjuster, or light stabilizer is also sometimes used) etc. -- or it can be combined and used. Furthermore, depending on the case, the germicide for industry, an antifungal agent, etc. can also be added for antimicrobic mildewproofing.

[0051] An adjuvant is described in more detail. For the purpose, such as emulsification, dispersion, a ** exhibition, humidity, binding, and stabilization, a ligninsulfonic acid salt,

Alkylbenzene sulfonates, an alkyl-sulfuric-acid ester salt, polyoxyalkylene alkyl sulfate, Anionic surface active agents, such as polyoxyalkylene alkyl phosphate, Polyoxyalkylene alkyl ether, polyoxyalkylene alkyl aryl ether, Polyoxyalkylene alkylamine, polyoxyalkylene alkylamide, Polyoxyalkylene alkylamide, polyoxyalkylene alkylthio ether, Polyoxyalkylene fatty acid ester, glycerine fatty acid ester, Sorbitan fatty acid ester, polyoxyalkylene sorbitan fatty acid ester, Nonionic surfactants, such as polyoxypropylene polyoxyethylene block polymer, Stabilizers, such as lubricant, such as calcium stearate and a wax, and isopropyl hydronalium diene phosphate, other methyl cellulose, carboxymethylcellulose, casein, gum arabic, etc. are raised. However, these components are not limited to the above thing.

[0052] [content] although the content of the compound expressed with the general formula (1) in the germicide for plantation arts concerning this invention changes also with pharmaceutical preparation forms By dust, with a water-dispersible powder, 0.05 to 20weight % Usually, 0.1 to 80 weight %, One to 50weight %, with flowable pharmaceutical preparation, one to 50weight %, in an emulsion, are 1 to 80 weight %, and by dry flowable pharmaceutical preparation, preferably In dust, 0.5 to 5weight %, in a water-dispersible powder, it is 5 to 80 weight %, is 0.5 to 8 weight % in a granule, and is [at an emulsion / in flowable pharmaceutical preparation] 5 to 50 weight % five to 20weight % in 5 to 30 weight %, and dry flowable pharmaceutical preparation.

[0053] The content of an adjuvant is 0 to 80 weight %, and the content of a carrier is the quantity which deducted the content of the active principle compound and the adjuvant from 100 weight %.

[0054] Although seed sterilization, forage spraying, etc. are raised as the use method of this invention constituent, effect sufficient by any use methods which a person skilled in the art usually uses is demonstrated. Although the amount of use and use concentration are changed according to the development grade of object crops, object disease, and disease, the pharmaceutical form of a compound, the use method, various environmental conditions, etc., when sprinkling, per [50-1,000g] hectare are suitable for them as an amount of active principles, and they are per [100-500g] hectare desirably. Moreover, when diluting a water-dispersible powder, a flowable agent, or an emulsion with water and sprinkling it, 200 to 20,000 times are suitable for the dilution magnification, and ****** is 1,000 to 5,000 times.

[0055] Mixed preparation with these is also possible for the germicide for plantation arts of this invention not to mention mixed use with agricultural chemicals, such as other germicides, an insecticide, a herbicide, and a plant growth regulator, a soil conditioner, or a **** substance. As a germicide, for example, bird horse mackerel MEHON, HEKISAKO Nazor, pro KURORAZU, Acyl alanine system germicides, such as azole system germicides, such as triflumizole, metalaxyl, and OKISADIKISHIRU, Dithiocarbamate system germicides, such as benzimidazole system germicides, such as thiophanate-methyl and benomyl, and mancozeb, and tetra-chloro

isophthalonitrile, sulfur, etc. are raised, and as an insecticide for example Fenitrothion, diazinon, pyridaphenthion, chlorpyrifos, Marathon, phenthoate, dimethoate, methyl thiometon, prothiophos, Phosphorus system insecticides, such as DDVP, acephate, SARICHION, and EPN, NAC, Although pyrethroid system insecticides, such as the Cava mate system insecticides, such as MTMC, BPMC, pirimicarb, carbosulfan, and methomyl, and etofenprox, permethrin, and fenvalerate, etc. are raised, it is not limited to this.

[0056]

[Example] Next, a work example is given and the manufacturing method of this invention compound is explained concretely.

Work example 1 N-acetyl N-(2-phenyl) phenyl 0.03g of 60% of synthesis sodium hydride of 4-trifluoromethyl 2-methylthiazole 5-carboxylic amide THF10ml is made to suspend and it is N-(2-phenyl) phenyl under ice-cooling churning. The THF2ml solution of 0.20g (0.52mmol) of 4-trifluoromethyl 2-methylthiazole 5-carboxylic amide was dropped. After agitating at this temperature for 5 minutes, 0.07g (0.98mmol) of acetic anhydride was dropped. It agitated with ******** to the room temperature gradually, the solvent was poured in underwater, and ethyl acetate extracted. Water and saturation sodium bicarbonate water washed the organic layer one by one, and it dried with magnesium sulfate. It distilled off under the reduced pressure of a solvent, and the object was considered as the crystal and obtained 0.16g (71.7% of yield).

1H NMR(CDCl3, delta value): 2.04 (3H, s), 2.69 (3H, s), 7.22-7.37 (4H, m), 7.40-7.70 (5H, m)

[0057] Work example 2 0.03g of 60% of synthesis sodium hydride of N-(N-ethyl carbamoyl)-N-(2-phenyl) phenyl 4-trifluoromethyl 2-methylthiazole 5-carboxylic amide THF10ml is made to suspend and it is N-(2-phenyl) phenyl under ice-cooling churning. The THF2ml solution of 0.25g (0.69mmol) of 4-trifluoromethyl 2-methylthiazole 5-carboxylic amide was dropped. After agitating at this temperature for 5 minutes, isocyanic acid ethyl 0.05ml was dropped. It agitated with ******** to the room temperature gradually, the solvent was poured in underwater, and ethyl acetate extracted. Water and saturation sodium bicarbonate water washed the organic layer one by one, and it dried with magnesium sulfate. It distilled off under the reduced pressure of a solvent, and the object was considered as the crystal and obtained 0.24g (80.0% of yield).

1H NMR(CDCl3, delta value): 1.21 (3H, t J = 7.3Hz), 2.57 (3H, s) and 3.41 (2H, m), 7.13-7.18 (2H, m), 7.28-7.50 (7H, m), 8.46 (1H, bs) [0058] Some of compounds of this invention manufactured by such an example of manufacture are shown in the 9th table (Tables 25-27).

[0059]

[Table 25]

9th table ---------- ---------- compound number R1R2R3NMR (CDCl3, delta value) ---------- ---------- 1 Acetyl 4-Trifluoromethyl 2-Methyl Phenyls 2.04 (3H, S) and 2.69 (3H, S), -5-Thiazolyl 7.22-7.37 (4H, M), 7.40-7.72 (5H, M) ---------- -- 2

Isobutyryl 4-trifluoromethyl 2-methyl Phenyl 0.73 (3H, d J = 7.3Hz) and 1. 00 (-5-thiazolyl 3H, d J = 7.3Hz), 2.50 (1H, sep-tet J=7.3Hz), 2.70 (3H, s) 7.23-7.57 (9H, m) ---------- ---- 3 Hepta-Noil 4-Trifluoromethyl 2-Methyl Phenyl 0.83 (3H, T J = 7.3Hz), 1.09--5-Thiazolyl 1.38 (8H, M), 2.05-2.81 (2H, M) 2.68 (3H, S), 7.22-7.57 (9H, M) ---------- -- 4 Phenoxy Acetyl 4-trifluoromethyl 2-methyl Phenyl 2.64 (3H, s), 4.76 (1H, d J=4.3-5-thiazolyl Hz), 4.79(1H, d J = 4.3Hz) 6.69 (2H, m), 7.20-7.34 (6H, m) 7.42-7.64 (6H, m) ---------- ---------- 5 N-Phenyl 4-Trifluoromethyl 2-Methyl -5-Thiazolyl 7.15 (2H, M), 7.34-7.54 () Phenyl 2.28 (3H, S), 6.51 (1H, Bs) Carbamoyl 14H, m---------- ---------- [0060]

[Table 26]

The 9th table (continuation)

---------- ---------- compound number R1R2R3NMR (CDCl3, delta value) ---------- ---------- 6 N-Ethyl 4-Trifluoromethyl 2-Methyl Phenyl 1.21 (3H, T J = 7.3Hz), 2.57 (3H carbamoyl -5-thiazolyl, s), 3.41 (2H, m), 7.13-7.18 (2H, m), 7.28-7.50 (7H, m), 8.46 (1H, bs) ---- ---------- ----- 7 Methyl 4-trifluoromethyl 2-methyl Phenyl 2.55 (3H, s), 3.25 (3H, s) and -5-thiazolyl 7.18-7.25 (2H, m), 7.31-7.48 (7H, m) ---------- 8 Methoxymethyl 4-Trifluoromethyl 2-Methyl Phenyls 3.47 (3H, S), 4.43 (1H and D J=-5-Thiazolyl 10.1Hz), and 5.54 (1H, D, J= 10.1Hz), 7.15-7.19 (3H, M), 7.26-7.50 (6H, m) ------- ---------- ---------- 9 Methoxyethoxy one 4-trifluoromethyl 2-methyl Phenyl 2.56 (3H, s), 3.37 (3H, s), 3.53 methyl -5-thiazolyl 3.57 (2H, m), 3.77-3.95 (2H, m) 4.55 (1H, d J = 10.3Hz), 5.65 (1H, d J = 10.3Hz), 7.32-7.44 (9H, m) ---------- [0061]

[Table 27]

The 9th table (continuation)

---------- ---------- compound number R1R2R3NMR (CDCl3, delta value) ---------- ---------- 10 Acetyl 4-Trifluoromethyl 2-Methyl 2-Methoxy 2.17 (3H, S), 2.44 (3H, s), 2.60-5-thiazolyl Imino ethyl (3H, s) 3.94 (3H, s), 7.16 (1H, d J = 8.1Hz), 7.31-7.45 (2H, m) 7.53 (1H, d J = 8.1Hz) ---------- ---------- 11 Acetyl 3-trifluoromethyl 1-methyl Phenyl 2.08 (3H, s), 3.92 (3H, s), 4-pyrazolyl 7.26-7.33 (4H, m), 7.42-7.70 (5H, m) ---------- --- 12 Propanoyl 4-Trifluoromethyl 2-Methyl Phenyl 0.73 (3H, T D J = 7.3Hz), 2.10-5-Thiazolyl 2.35 (2H, M) and 2.68 (3H, S), 7.19-7.57 (9H, m) ---------- ---------- [0062] Example 1 of reference N-(2-isopropyl phenyl)-2-methyl 4-trifluoromethyl thiazole 5-carboxylic amide (compound given in control compound A and a publication-number-No. 221,994 gazette)

It completely carried out by the same method except having used 2-isopropyl aniline as aniline and having used 2-methyl 4-trifluoromethyl thiazole 5-carboxylic acid as carboxylic acid in the work example 1. The purpose compound with a fusing point of 114-115 degrees C was obtained.

[0063] Example 2 of reference N-(2-isopropyl phenyl)-2-chloro nicotinamide (compound given in a control compound B and a publication-number-No. 221,994 gazette)

It completely carried out by the same method except having used 2-isopropyl aniline as aniline in the work example 1. The purpose compound with a fusing point of 123-124.5 degrees C was obtained.

[0064] The example of pharmaceutical preparation and the example of a physiology examination next the example of pharmaceutical preparation of the germicide for plantation arts concerning this invention, and the example of an examination are shown.

Example 1 of pharmaceutical preparation Grinding mixing of three copies of compounds, 20 copies of diatom earths, 30 copies of clay, and 47 copies of talcs of the dust compound number 1 was carried out uniformly, and 100 copies of dust was obtained.

[0065] Example 2 of pharmaceutical preparation Grinding mixing of 25 copies of compounds, 47 copies of diatom earths, 25 copies of clay, one copy of ligninsulfonic acid sodium, and two copies of alkyl benzene sodium sulfonate of the water-dispersible powder compound number 1 was carried out uniformly, and 100 copies of water-dispersible powders were obtained.

[0066] Example 3 of pharmaceutical preparation 50 copies of compounds of the water-dispersible powder compound number 1, 40 copies of talcs, five copies of sodium laurylphosphate, and five copies of alkyl naphthalene sulfonic acid SATORIUMU were mixed, and 100 copies of water-dispersible powders were obtained.

[0067] Example 4 of pharmaceutical preparation Preferential grinding of 50 copies of compounds, ten copies of ligninsulfonic acid sodium, five copies of alkyl sodium naphthalenesulfonate, ten copies of white carbon, and 25 copies of diatom earths of the water-dispersible powder compound number 2 was carried out, and 100 copies of water-dispersible powders were obtained.

[0068] example 5 of pharmaceutical preparation ten copies of compounds of the emulsion compound number 2, ten copies of cyclohexane, 60 copies of xylene, and Sol Ball (Toho Chemical surface active agent) -- dissolution mixing of the 20 copies was carried out uniformly, and 100 copies of emulsions were obtained.

[0069] Example 6 of pharmaceutical preparation Wet grinding of 40 copies of compounds, three copies of carboxymethylcellulose, two copies of ligninsulfonic acid sodium, one copy of dioctyl sulfosuccinate sodium salt, and 54 copies of water of the flowable agent compound number 2 was carried out with the Sand grinder, and the flowable agent 100 copy was obtained.

[0070] Next, the example of an examination explains the effect as a germicide for plantation arts of this invention compound. In addition, in the example of an examination, the compound of the above-mentioned example of reference was used as a control agent.

Example 1 of an examination The water-dispersible powder adjusted to the kidney bean (form: vine-less top crop) which it grew two [at a time] at the vinyl pot 7.5cm in diameter according to the example 3 of pharmaceutical preparation to development of a cotyledon in the kidney bean

gray mold disease extermination effect examination greenhouse was diluted to prescribed concentration, and was sprinkled every 50ml per four pots. After the drug solution was air-dry, spraying inoculation of the conidium suspension (1x105 **/ml) prepared from the gray mold contagion cultivated on the PDA culture medium was carried out on the cotyledon, and it maintained at 20-23 degrees C and the greenhouse beyond humidity 95% for seven days. The area which **** of a gray mold disease occupies was investigated seven days after inoculation according to the following index per kidney bean 1 leaf, and prevention-of-the-breeding-and-extermination value was computed by the following formula (several 1). A result is shown in the 10th table (Tables 28 and 29).

the degree of pathopoiesis 0-:-pathopoiesis-less 1: -- the area of **** -- 5%or less 2: -- the area of **** -- 5 - 25%3: -- the area of **** -- 25 - 50%4: -- the area of **** made the average of each treatment division and a non-processed division the degree of pathopoiesis 50% or more

[0071]

[Equation 1] Prevention-of-the-breeding-and-extermination value (%) =(degree of pathopoiesis of degree of pathopoiesis / non-processed division of 1-treatment division) x100 [0072]

[Table 28]

第１０表

化合物番号	有効成分濃度（ｐｐｍ）	防除価（％）
本発明化合物 1	２００ ５０	１００ １００
本発明化合物 4	２００ ５０	１００ ３３
本発明化合物 7	２００ ５０	１００ ６７
本発明化合物 8	２００ ５０	１００ １００
本発明化合物 １０	２００ ５０	１００ ３３
本発明化合物 １１	２００ ５０	１００ １００

[0073]

[Table 29]

第１０表

化合物番号	有効成分濃度（ｐｐｍ）	防除価（％）
対照化合物 A	２００ ５０	９０ ６５
対照化合物 B	２００ ５０	２７ ０

[0074]

[Effect of the Invention] The germicide for plantation arts which contains the compound expressed with the general formula (1) of this invention as an active principle shows the extermination effect which was excellent to the gray mold disease of various crops, such as a cucumber, a tomato, a strawberry, and a grape, and is useful as a germicide for plantation arts.

[Translation done.]